CLAIMS

1. A method of treatment of a condition associated with raised activity of the enzyme core 2 GlcNAc-T comprising administration of an effective amount of a compound of the formula I to a patient in need thereof.

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$$R_3$$
 R_2
 R_1
 R_3
 R_4
 R_4
 R_5
 R_1

wherein R₁ is -OH, C₁₋₆ alkoxy, -NR₈R₉, or a monosaccharide of the formula

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IIa;

 R_2 is -OH, C_{1-6} alkoxy or a monosaccharide of the formula IIb:

R₃ is -OH, C₁₋₆ alkoxy or a monosaccharide of the formula IIc;

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 R_4 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl; R_5 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl; R_6 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl;

 R_7 is C_{2-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl;

 R_8 is H, C_{1-6} alkyl or C_{1-6} acyl;

R₉ is H, C₁₋₆ alkyl or C₁₋₆ acyl; and

Z is a steroid group;

or a pharmaceutically acceptable salt, ester or tautomeric form or derivative thereof.

- 2. A method of treatment as described in claim 1 in which $R_{\rm I}$ is a monosaccharide of the formula IIa.
- 3. A method of treatment as described in claim 2 in which R_5 is C_{1-6} alkyl or C_{1-6} hydroxyalkyl.
 - 4. A method of treatment as described in claim 2 in which R₅ is -CH₃, -C₂H₅, -CH₂OH or -C₂H₄OH.
 - 5. A method of treatment as described in claim 1 in which R_3 is a monosaccharide of the formula IIc.
- 15 6. A method of treatment as described in claim 5 in which R_7 is C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl.
 - 7. A method of treatment as described in claim 5 in which R_7 is -CH₂OH or C_{1-6} alkoxymethyl.
 - 8. A method of treatment as described in claim 5 in which R₇ is -CH₂OH.
- 9. A method of treatment as described in claim 1 in which the compound of the formula I is a compound of the formula III:

wherein:

 $R_4 \text{ is } C_{1\text{-}6} \text{ alkyl, } C_{1\text{-}6} \text{ hydroxyalkyl or } C_{1\text{-}6}\text{-alkoxy-}C_{1\text{-}6}\text{-alkyl;}$ $R_5 \text{ is } C_{1\text{-}6} \text{ alkyl, } C_{1\text{-}6} \text{ hydroxyalkyl or } C_{1\text{-}6}\text{-alkoxy-}C_{1\text{-}6}\text{-alkyl;} \text{ and}$ $R_7 \text{ is } C_{2\text{-}6} \text{ alkyl, } C_{1\text{-}6} \text{ hydroxyalkyl or } C_{1\text{-}6}\text{-alkoxy-}C_{1\text{-}6}\text{-alkyl.}$

10. A method of treatment as described in claim 9 in which R_4 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl.

- 11. A method of treatment as described in claim 9 in which R₄ is -CH₂OH or-CH₃.
- 5 12. A method of treatment as described in claim 9 in which R₅ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl.
 - 13. A method of treatment as described in claim 9 in which R_5 is $-CH_3$, $^-C_2H_5$, $-CH_2OH$ or $-C_2H_4OH$.
- 14. A method of treatment as described in claim 9 in which R₇ is C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl.
 - 15. A method of treatment as described in claim 9 in which R_7 is -CH₂OH or C_{1-6} alkoxymethyl.
 - 16. A method of treatment as described in claim 9 in which R₇ is -CH₂OH.
- 17. A method as described in claim 9 wherein compounds of the formula III are compounds of the formula I wherein:

R₁ is rhamnose; .

 R_2 is -OH;.

R₃ is glucose; and

R4 is CH₂OH.

20 18.A method as described in claim 9 wherein compounds of the formula III are compounds of the formula IV

19. A method as described in claim 1 in which the compound of the formula I is a compound of the formula V:

wherein:

5 R₁ is OH, C₁₋₆ alkoxy or NR₈R₉, or a monosaccharide of the formula IIa:

 R_4 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl;

 R_5 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} alkyl;

 R_6 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl;

 R_8 is H, C_{1-6} alkyl or C_{1-6} acyl;

R₉ is H, C_{1-6} alkyl or C_{1-6} acyl; and

Z is a steroid group.

20. A method as described in claim 19 in which R₁ is OH, or NR₈R₉.

21. A method as described in claim 19 in which R₁ is NR₈R₉:

 R_8 is H, C_{1-6} alkyl or C_{1-6} acyl; and

15 R_9 is H, C_{1-6} alkyl or C_{1-6} acyl.

22. A method as described in claim 19 in which R₁ is NR₈R₉;

R₈ is H; and

 R_9 is H, C_{1-6} alkyl or C_{1-6} acyl.

23. A method as described in claim 19 in which R₁ is NR₈R₉

 R_8 is H; and

 R_9 is C_{1-6} acyl.

23. A method as described in claim 19 in which R₁ is NR₈R₉;

R₈ is H; and

R₉ is -COCH₃

24. A method as described in claim 19 in which the compound of formula IV is Galβ1→3(6-deoxy)GalNAcα-Z.

25. A method according to claim 1 in which the steroid group is a group of the formula VII:

$$R_{28} = R_{12}CH_{3} = R_{16}CH_{3} = R_{17}$$

$$R_{18} = R_{17}$$

$$R_{19} = R_{20}$$

$$R_{11} = R_{11}$$

$$R_{12} = R_{11}$$

$$R_{12} = R_{12}$$

$$R_{13} = R_{14}$$

$$R_{15} = R_{13}$$

$$R_{15} = R_{17}$$

$$R_{17} = R_{17}$$

$$R_{18} = R_{17}$$

$$R_{19} = R_{21}$$

$$R_{11} = R_{12}$$

$$R_{12} = R_{13}$$

$$R_{13} = R_{13}$$

$$R_{14} = R_{13}$$

$$R_{15} = R_{15}$$

$$R_{17} = R_{17}$$

$$R_{18} = R_{17}$$

$$R_{19} = R_{21}$$

$$R_{11} = R_{12}$$

$$R_{12} = R_{13}$$

$$R_{13} = R_{15}$$

$$R_{14} = R_{15}$$

$$R_{15} = R_{17}$$

$$R_{17} = R_{17}$$

$$R_{18} = R_{17}$$

$$R_{19} = R_{17}$$

wherein:

 R_{12} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

 R_{13} is H, -OH, =O, or C_{1-6} alkyl;

5 R₁₄ is H, -OH or C₁₋₆ alkyl or R₁₄ and R₃₃ taken together represent the second bond of a double bond joining adjacent carbon atoms;

 R_{15} is H, or -OH, or R_{15} and R_{33} taken together are =O;

 R_{16} is H, -OH or =O;

 R_{17} is H, -OH or =O;

10 R_{18} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

 R_{19} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

 R_{20} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

 R_{21} is H, -OH, C_{1-6} alkyl, C_{1-6} alkoxy or is a group of the formula VIII:

$$-C$$
 R_{23}
 R_{22}
 R_{23}

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 R_{22} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

 R_{23} is H, -OH, C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-6} -alkoxy- C_{1-6} -alkyl, =CH₂ or =CH- C_{1-6} -alkyl;

R₂₄ is H, C₁₋₆ alkyl, C₁₋₆ acyl or a monosaccharide MS;

R₂₈ and R₂₉ are the same or different and are H or -OH;

 R_{32} is H, -OH or =O;

 R_{33} is H, or R_{33} and R_{15} taken together are =0, or R33 and R14 taken together represent the second bond of a double bond joining adjacent carbon atoms; MS is

selected from a group consisting of rabinose, xylose, lyxose, ribose, glucose, mannose, galactose, allose, altrose, gulose, idose, talose, ribulose, xylulose, fructose, sorbose, tagatose, psicose, sedoheptulose, deoxyribose, fucose, rhamnose, 2-deoxyglucose, quinovose, abequose, glucosamine, mannosamine, galactosamine, neurminic acid, muramic acid, N-acetyl-glucosamine, N-acetyl-mannosamine, N-acetyl-galactosmine, N-acetyl-galactosmine, N-acetylneuraminic acid, N-acetylneuraminic acid, fructuronic acid, tagaturonic acid, glucuronic acid, mannuronic acid, galacturonic acid, iduronic acid, sialic acid and guluronic acid; and

Y is N or O;.

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- 26. A method according to claim 25 in which Y is O.
- 27 A method according to claim 25 in which R_{21} is a group of the formula VIII.
- 28 A method according to claim 27 in which R_{24} is C_{1-6} alkyl, C_{1-6} acyl or a monosaccharide MS.
- 15 29 A method according to claim 27 in which R_{24} is C_{1-6} acyl or a monosaccharide MS.
 - 30. A method according to claim 27 in which R₂₄ a monosaccharide MS
 - 31. A method according to claim 28, 29 or 30 in which MS is selected from the group consisting of glucose, galactose, mannose, fucose, N-acetyl-glucosamine, N-acetyl-galactosamine and sialic acid.
 - 32 A method according to claim 28, 29 or 30 in which MS is glucose.
 - 33 A method according to claim 27 in which R_{23} is C_{1-6} alkyl, C_{1-6} -hydroxyalkyl, C_{1-6} -alkoxy- C_{1-6} -alkyl, =CH₂ or =CH- C_{1-6} -alkyl.
- 34 A method according to claim 27 in which R_{23} is C_{1-6} alkyl, C_{1-6} 25 hydroxyalkyl or =CH₂.
 - 35 A method according to claim 27 in which R_{23} is $-C_2H_4OH$, $-CH_2OH$, C_{1-6} alkyl, or $=CH_2$.
 - 36 A method according to claim 27 in which R_{23} is $-C_2H_4OH$, $-CH_2OH$, $-C_2H_5$, $-CH_3$ or $=CH_2$
- 37. A method according to claim 27 in which R_{23} is $-CH_3$.
 - 38. A method according to claim 27 in which R_{23} is = CH_2 .
 - 39. A method of claim 27 in which R_{22} is H, -OH, or C_{1-6} alkoxy.
 - 40. A method of claim 27 in which R₂₂ is H.
 - 41. A method of claim 25 in which R_{19} is H, -OH, or C_{1-6} alkyl;

42. A method of claim 25 in which:

R₁₂ is H, -OH

 R_{13} is H or -OH;

R₁₄ is H, or -OH or R₁₄ and R₃₃ taken together represent the second bond of a double bond joining adjacent carbon atoms;

 R_{15} is H, or R_{15} and R_{33} taken together are =0;

R₁₈ is H, -OH or C₁₋₆ alkoxy

 R_{19} is C_{1-6} alkyl;

 R_{20} is H, -OH or C_{1-6} alkoxy;

10 R_{32} is H, -OH or =O; and

 R_{33} is H, or R_{33} and R_{15} taken together are =0, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

43. A method of claim 25 in which:

 R_{16} is H or =0;

15 R_{17} is H or -OH;

 R_{18} is H or -OH; and

 R_{20} is -OH or C_{1-6} alkoxy.

44 a method of claim 25 in which the steroid group is selected from a group consisting of:

$$R_{18}$$
 R_{29} R_{29} R_{29} R_{29} R_{29} R_{29}

$$R_{29}$$
 R_{29}
 R_{29}

wherein:

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 R_{18} is H or -OH;

 R_{20} is -OH or C_{1-6} alkoxy;

R₂₄ is glucose or C₁₋₆ acyl; and

 R_{29} is H or -OH.

45. A method of claim 1 in which the compound of the formula I is selected from the group consisting of

trigoneoside IVa which is (3β,25S)-26-(β-D-glucopyranosyloxy)-22-

hydroxyfurost-5-en-3-yl-O- α -L-rhamnopyranosyl- $(1\rightarrow 2)$ -O-

[β-D-glucopyranosyl-(1 \rightarrow 4)]-β-D-glucopyranoside, glycoside F which is (3β)-26-(β-D-glucopyranosyloxy)-22-hydroxyfurost-5-en-3-yl-O- α -L-

rhamnopyranosyl-(1 \rightarrow 2)-O-[β-D-glucopyranosyl-(1 \rightarrow 4)]-β-D-glucopyranoside, shatavarin I, compound 3, pardarinoside C.

46. A method according to claim 1 in which the steroid group is a group of the formula VIII:

$$R_{28}$$
 $R_{12}CH_3$
 R_{18}
 R_{18}
 R_{17}
 R_{13}
 R_{14}
 R_{15}
 R_{32}
 R_{32}
 R_{13}
 R_{15}
 R_{15}
 R_{15}
 R_{15}
 R_{15}

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wherein:

 R_{12} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

 R_{13} is H, -OH, =O, or C_{1-6} alkyl;

R₁₄ is H, -OH or C₁₋₆ alkyl or R₁₄ and R₃₃ taken together represent the second bond of a double bond joining adjacent carbon atoms;

 R_{15} is H, or -OH, or R_{15} and R_{33} taken together are =O;

 R_{16} is H, -OH or =O;

 R_{17} is H, -OH or =O;

 R_{18} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

25 R_{19} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

 R_{20} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

 R_{27} is H, -OH, C_{1-6} alkyl, C_{1-6} alkoxy or C_{1-6} hydroxyalkyl;

R₂₈ and R₂₉ are the same or different and are H or -OH;

 R_{32} is H, -OH or =O; and

 R_{33} is H, or R_{33} and R_{15} taken together are =0, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

47, A method of claim 46 in which R_{27} is H, C_{1-6} alkyl, or C_{1-6} alkoxy.

48, A method of claim 46 in which R_{27} is H, or C_{1-6} alkyl.

49. A method of claim 46 in which R_{19} is H, -OH, or C_{1-6} alkyl;

50. A method of claim 46 in which R_{20} is –OH or C_{1-6} alkoxy.

51. A method of claim 46 in which

 R_{12} is H or -OH

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 R_{13} is H or -OH;

 R_{14} is H, or -OH or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

 R_{15} is H, or R_{15} and R_{33} taken together are =0;

15 R_{16} is H, -OH or =O;

 R_{17} is H, -OH or =O;

 R_{18} is H, -OH or C_{1-6} alkoxy

 R_{19} is C_{1-6} alkyl;

 R_{32} is H, -OH or =O; and

 R_{33} is H, or R_{33} and R_{15} taken together are =0, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

52. A method of claim 46 in which he compound of the steroid group is a compound of the formula IXa

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53. A method of claim 46 in which the compound of the formula I is a compound of the formula:

Glc
$$-^4$$
Glc $-^4$ Rha

54. A method of claim 1 in which the steroid group is of the formula XI:

5 wherein:

 R_{12} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

 R_{13} is H, -OH, =O, or C_{1-6} alkyl;

 R_{14} is H, -OH or C_{1-6} alkyl or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

10 R_{15} is H, or -OH, or R_{15} and R_{33} taken together are =O;

 R_{16} is H, -OH or =O;

 R_{17} is H, -OH or =O;

 R_{18} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

 R_{19} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

15 R_{25} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

 R_{26} is H, -OH, C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-6} -alkoxy- C_{1-6} -alkyl, =CH₂ or =CH- C_{1-6} -alkyl;

R₂₈ and R₂₉ are the same or different and are H or -OH;

 R_{31} is H or -OH;

 R_{32} is H, -OH or =O;

 R_{33} is H, or R_{33} and R_{15} taken together are =0, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms;

5 R_{34} is H or -OH; and

X is O, S or NH.

- 55. A method of claim 54 in which X is O or NH;
- 56. A method of claim 54 in which X is O;
- 57. A method of claim 54 wherein R_{26} is C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-6-1} alkoxy- C_{1-6} -alkyl, =CH₂ or =CH- C_{1-6} -alkyl.
 - 58. A method of claim 54 wherein R_{26} is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or = CH_2 .
 - 59. A method of claim 54 wherein R_{26} is $-C_2H_4OH$, $-CH_2OH$, C_{1-6} alkyl, or $=CH_2$.
- 15 60. A method of claim 54 wherein R₂₆ is -C₂H₄OH, -CH₂OH, -C₂H₅, -CH₃ or =CH₂.
 - 61. A method of claim 54 wherein R_{26} is $-CH_3$ or $=CH_2$.
 - 62. A method of claim 54 wherein R_{19} is H, -OH, C_{1-6} alkyl.
 - 63. A method of claim 54 wherein R_{19} is C_{1-6} alkyl.
- 20 64. A method of claim 54 wherein:

 R_{12} is H, or -OH;

 R_{13} is H, or -OH;

 R_{14} is H or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

25 R_{15} is H, or R_{15} and R_{33} taken together are =0;

 R_{18} is H or -OH;

 R_{25} is H or -OH;

 R_{28} and R_{29} are H;

 R_{31} is H or -OH;

 R_{33} is H, or R_{33} and R_{15} taken together are =0, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms; and

R₃₄ is H or -OH.

65. A method of claim 54 wherein:

 R_{15} is H;

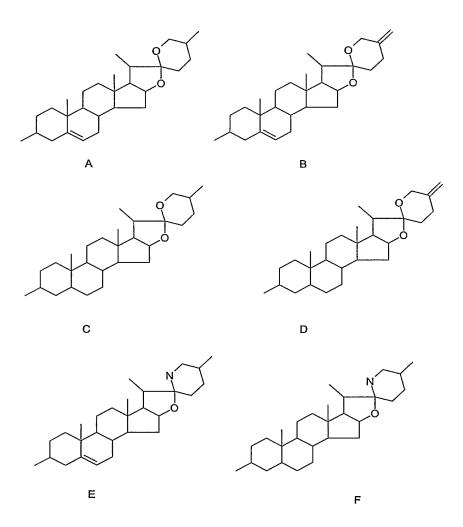
 R_{16} is H or -OH;

R₁₇ is H or -OH;

 R_{32} is H or -OH; and

R₃₃ is H, or R₃₃ and R₁₄ taken together represent the second bond of a double bond joining adjacent carbon atoms.

66. A method of claim 54 in which the steroid group of the formula XI is selected from the group consisting of:



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67. A method of claim 54 in which the steroid group of the formula XI is selected from the group consisting of diosgenin, yamogenin, tigogenin, neotigogenin, sarsasapogenin, smilagenin, hecogenin, solasodine or tomatidine.

68. A method of claim 1 in which the compounds of the formula I are selected from the group consisting of:

Shatavarin IV which is sarsasapogenin 3-O- α -L-rhamnopyranosyl- $(1\rightarrow 2)$ -O-

 $[\beta-D-glucopyranosyl-(1\rightarrow 4)]-\beta-D-glucopyranoside,$

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Compound 12 which is solasodine 3-O- α -L-rhamnopyranosyl- $(1\rightarrow 2)$ -O-[β -D-glucopyranosyl- $(1\rightarrow 4)$]- β -D-glucopyranoside,

Deltonin which is $(3\beta,25R)$ -spirost-5-en-3-yl-O- α -L-rhamnopyranosyl- $(1\rightarrow 2)$ -O- $[\beta$ -D-glucopyranosyl- $(1\rightarrow 4)]$ - β -D-Glucopyranoside, and

Balanitin VI is $(3\beta,25S)$ -spirost-5-en-3-yl-O- α -L-rhamnopyranosyl- $(1\rightarrow 2)$ -O- $[\beta$ -D-glucopyranosyl- $(1\rightarrow 4)]$ - β -D-Glucopyranoside.

- 69. The method of claim 1 in which the condition is an inflammatory disease, asthma, rheumatoid arthritis, atherosclerosis, inflammatory bowel disease, diabetic cardiomyopathy, myocardial dysfunction, cancer, cancer metastasis or diabetic retinopathy.
- 70. The method of claim 1 in which the condition is leukaemia, oral cavity carcinomas, pulmonary cancers such as pulmonary adenocarcinoma, colorectal cancer, bladder carcinoma, liver tumours, stomach tumours colon tumours, prostate cancer, testicular tumour, mammary cancer, lung tumours oral cavity carcinomas and any cancers where core 2 GlcNAc-T expression is raised above normal levels for that tissue type.
- 71. The use of a compound disclosed in the method of claims 1 to 69 in the manufacture of a medicament for the treatment of a condition associated with raised activity of the enzyme core 2 GlcNAc-T.
- 72. Use as described in claim 71 in which the condition is an inflammatory disease, asthma, rheumatoid arthritis, atherosclerosis inflammatory bowel disease, diabetic cardiomyopathy, myocardial dysfunction, cancer, cancer metastasis or diabetic retinopathy.
- 73. Use as described in claim 68 in which the condition is leukaemia, oral cavity carcinomas, pulmonary cancers such as pulmonary adenocarcinoma, colorectal cancer, bladder carcinoma, liver tumours, stomach tumours colon tumours, prostate cancer, testicular tumour, mammary cancer, lung tumours oral cavity carcinomas and any cancers where core 2 GlcNAc-T expression is raised above normal levels for that tissue type.
- 74. A pharmaceutical composition comprising a compound disclosed in the method of claims 1 to 69.
 - 75. A compound of the formula:

76. Use of the compound of the formula XII as described in claim 75 in therapy.